

FIG. 1

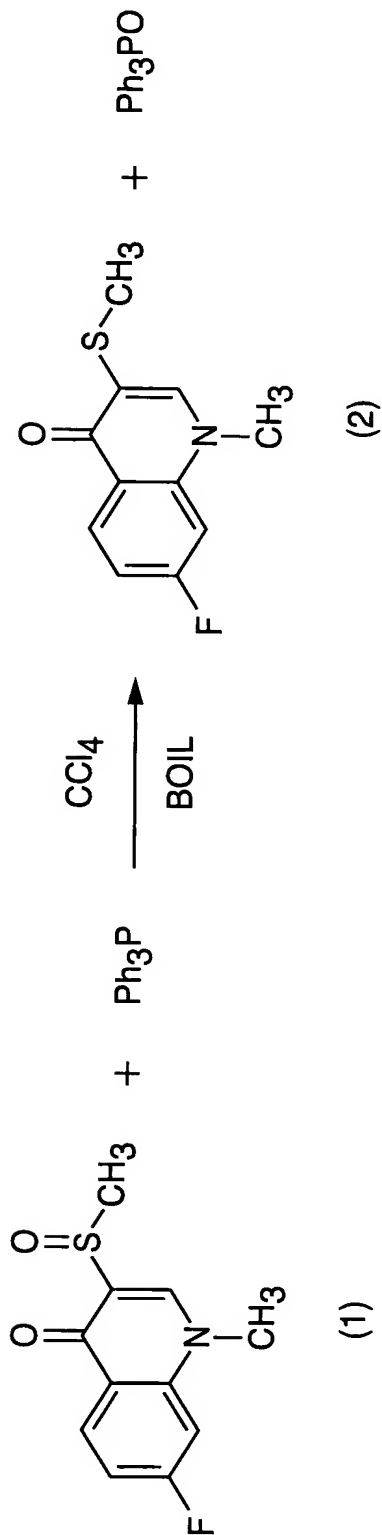


FIG. 2

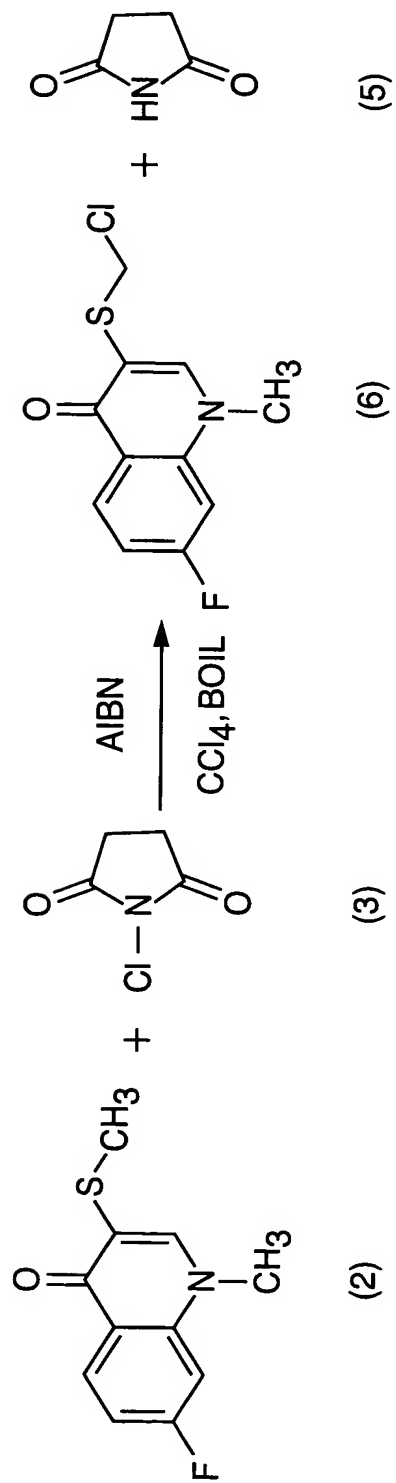


FIG. 3

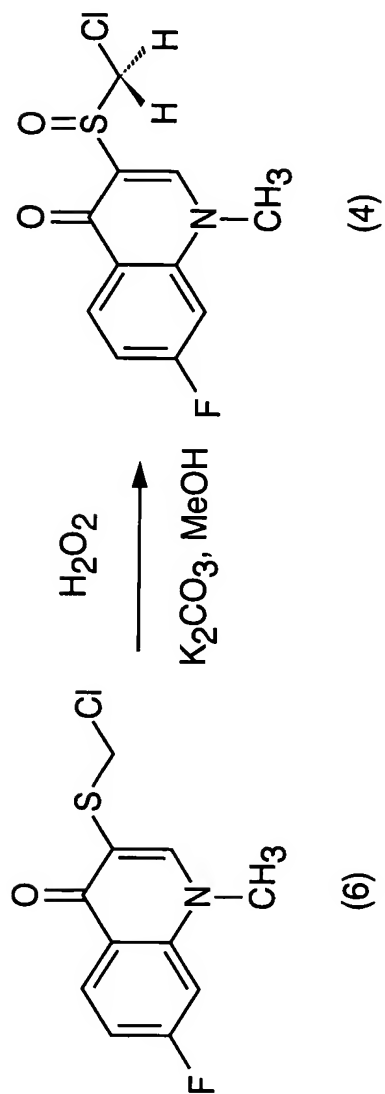


FIG. 4

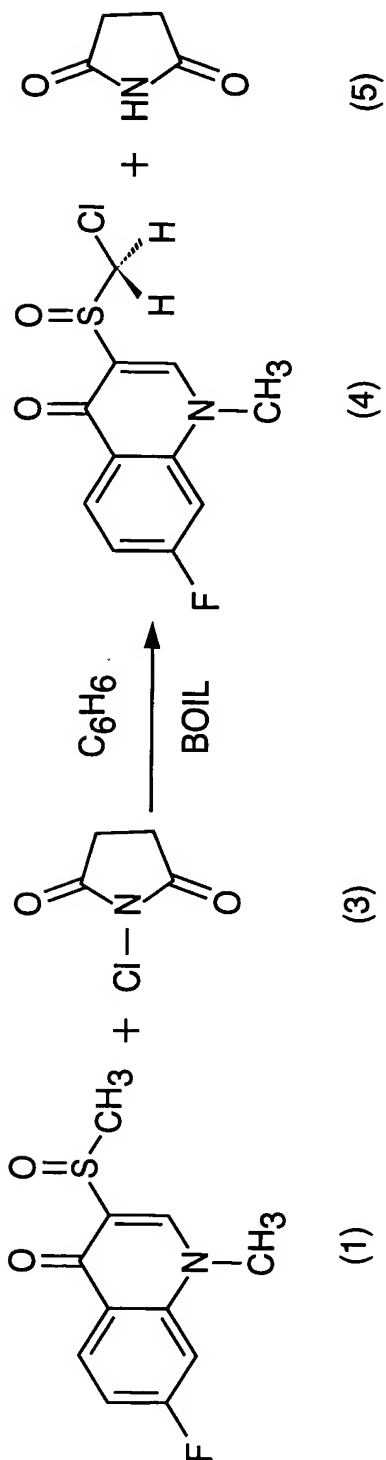


FIG. 5

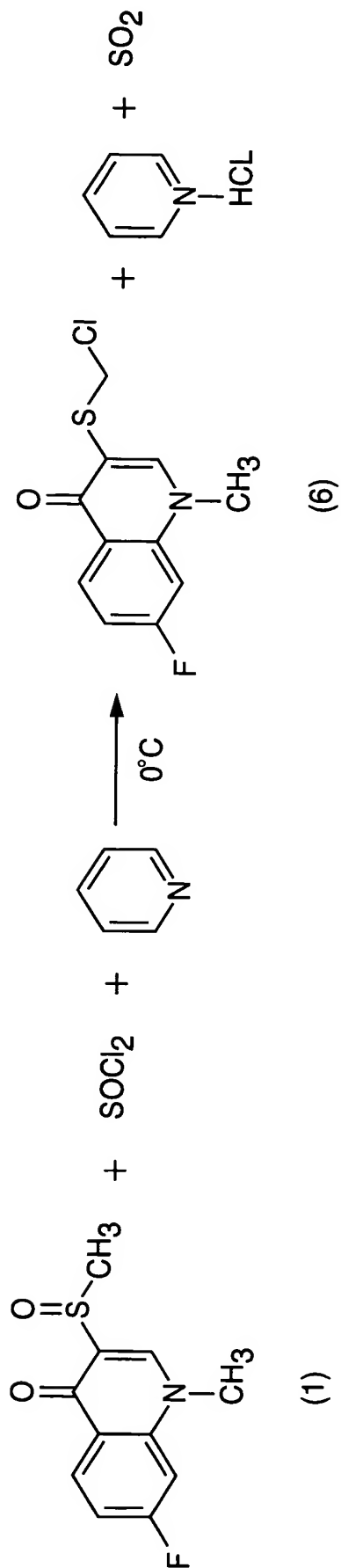


FIG. 6

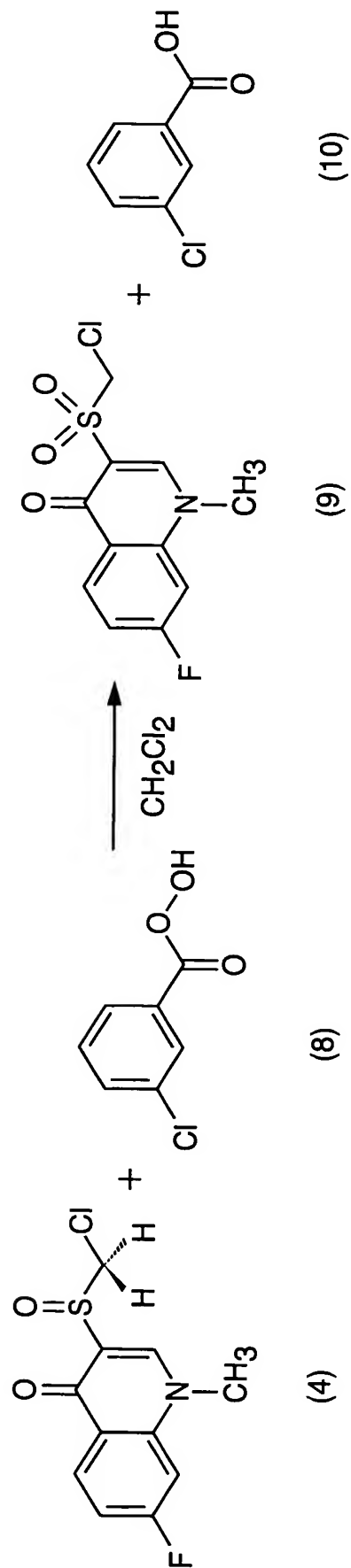


FIG. 7

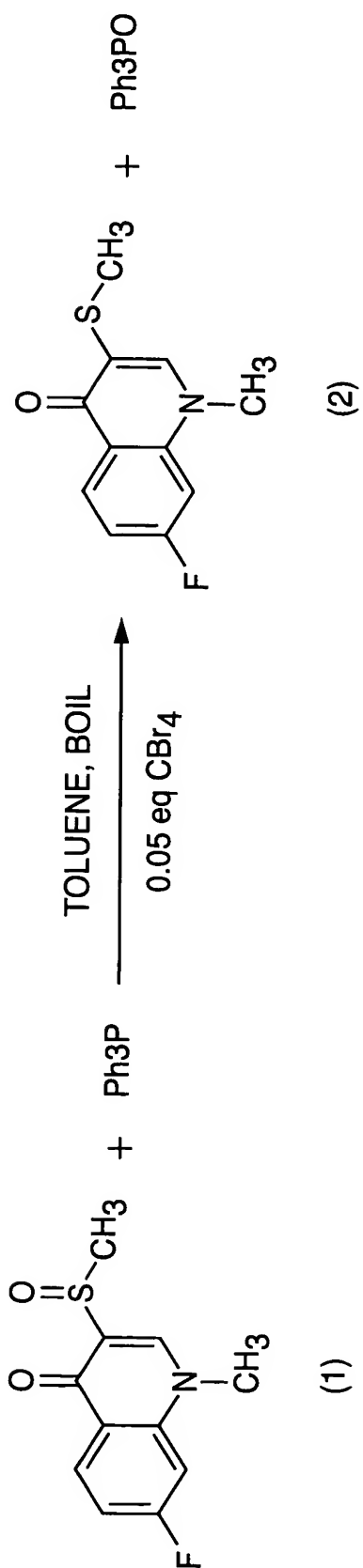


FIG. 8

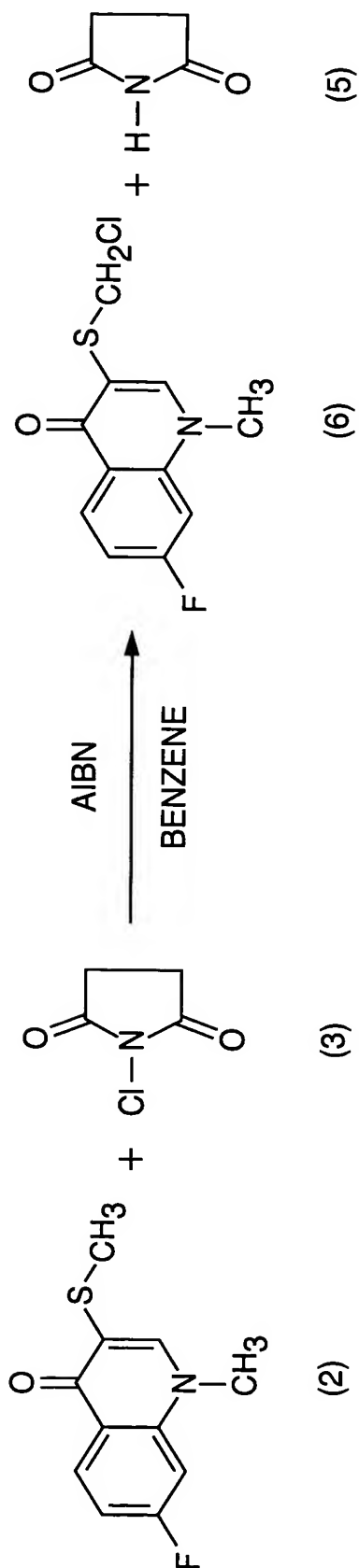


FIG. 9

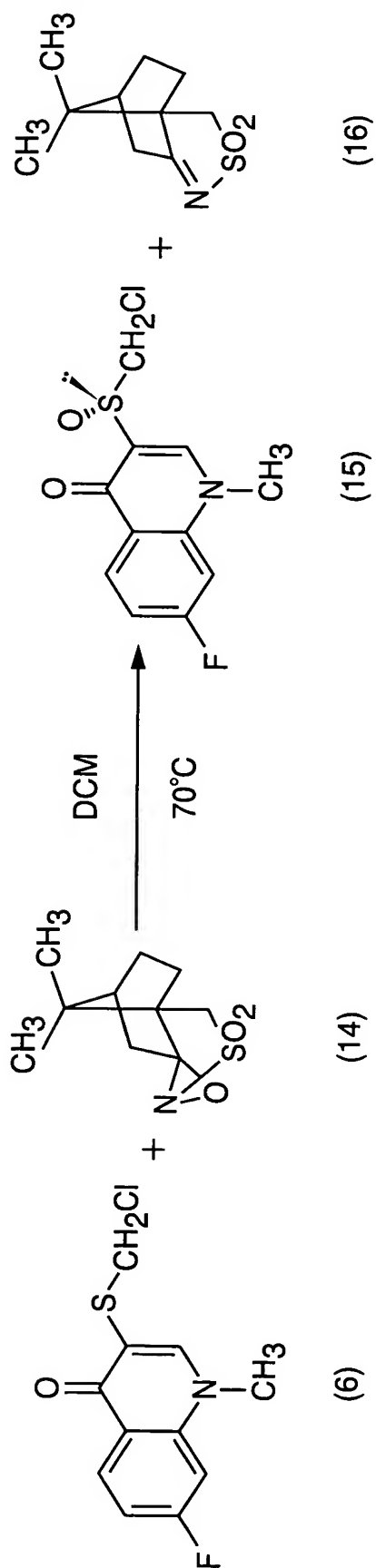


FIG. 10

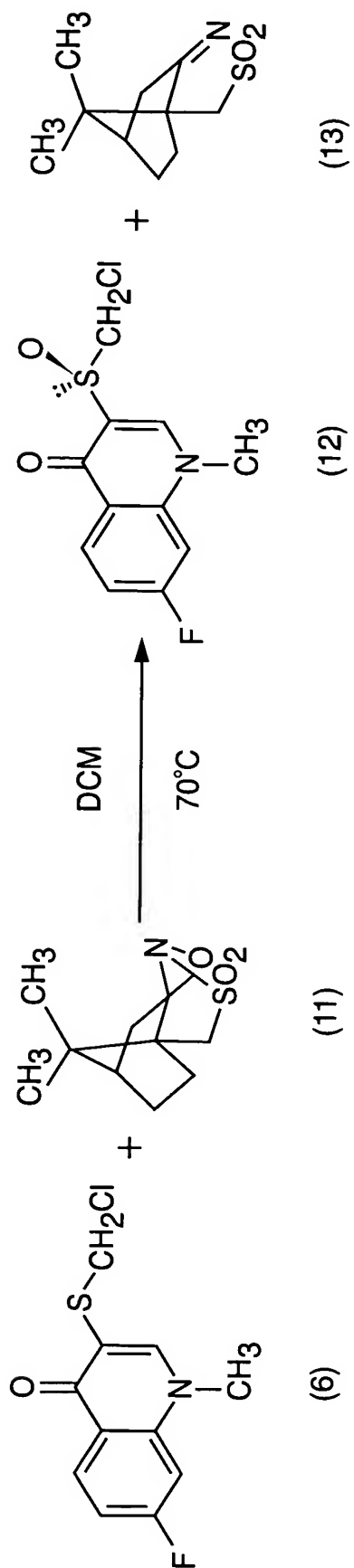


FIG. 11

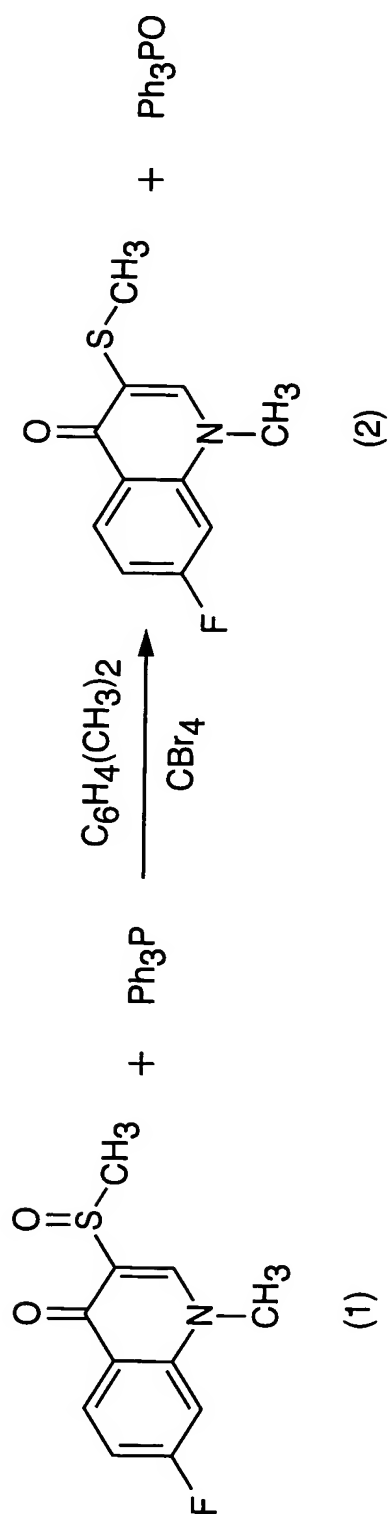


FIG. 12

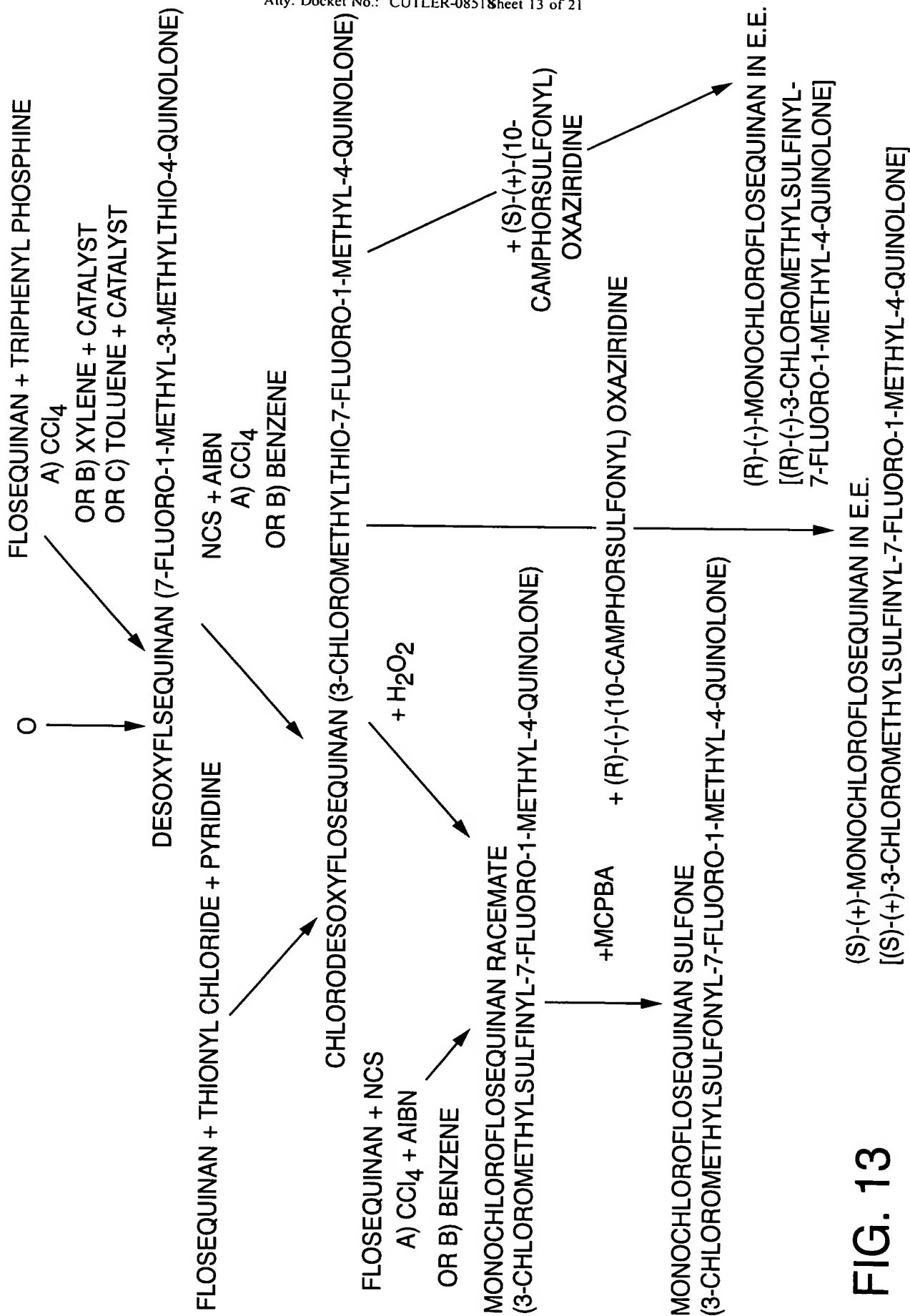


FIG. 13

CAT. #	TARGET	BATCH*	SPP.	n=	CONC.	% INHIBITION -100 -50 0 50 100 % ↓ ↓ ↓ ↓ ↓ ↓	IC ₅₀	K ₁	n _H	R
146000	PHOSPHODIESTERASE PDE1	41121	BOV	2	100 μM	27	95.9 μM			
148000	PHOSPHODIESTERASE PDE2	41120	HUM	2	100 μM	29				
◆152000	PHOSPHODIESTERASE PDE3	41119	HUM	2	100 μM	69				
◆		41564	HUM	2	300 μM	68				
◆				2	100 μM	51				
				2	30 μM	33				
				2	10 μM	12				
				2	3 μM	6				
				2	1 μM	-1				
				2	100 μM	17				
154000	PHOSPHODIESTERASE PDE4	41118	HUM	2	100 μM	35				
156000	PHOSPHODIESTERASE PDE5	41117	HUM	2	100 μM	17				
156100	PHOSPHODIESTERASE PDE6	41116	BOV	2	100 μM	17				

*BATCH: REPRESENTS COMPOUNDS TESTED CONCURRENTLY IN THE SAME ASSAY(S).
◆ DENOTES ITEM MEETING CRITERIA FOR SIGNIFICANCE
† RESULTS WITH ≥ 50% STIMULATION OR INHIBITION ARE BOLDFACED
(NEGATIVE VALUES CORRESPOND TO STIMULATION OF BINDING OR ENZYME ACTIVITY)

R=ADDITIONAL COMMENTS

BOV=BOVINE: HUM=HUMAN

FIG. 14

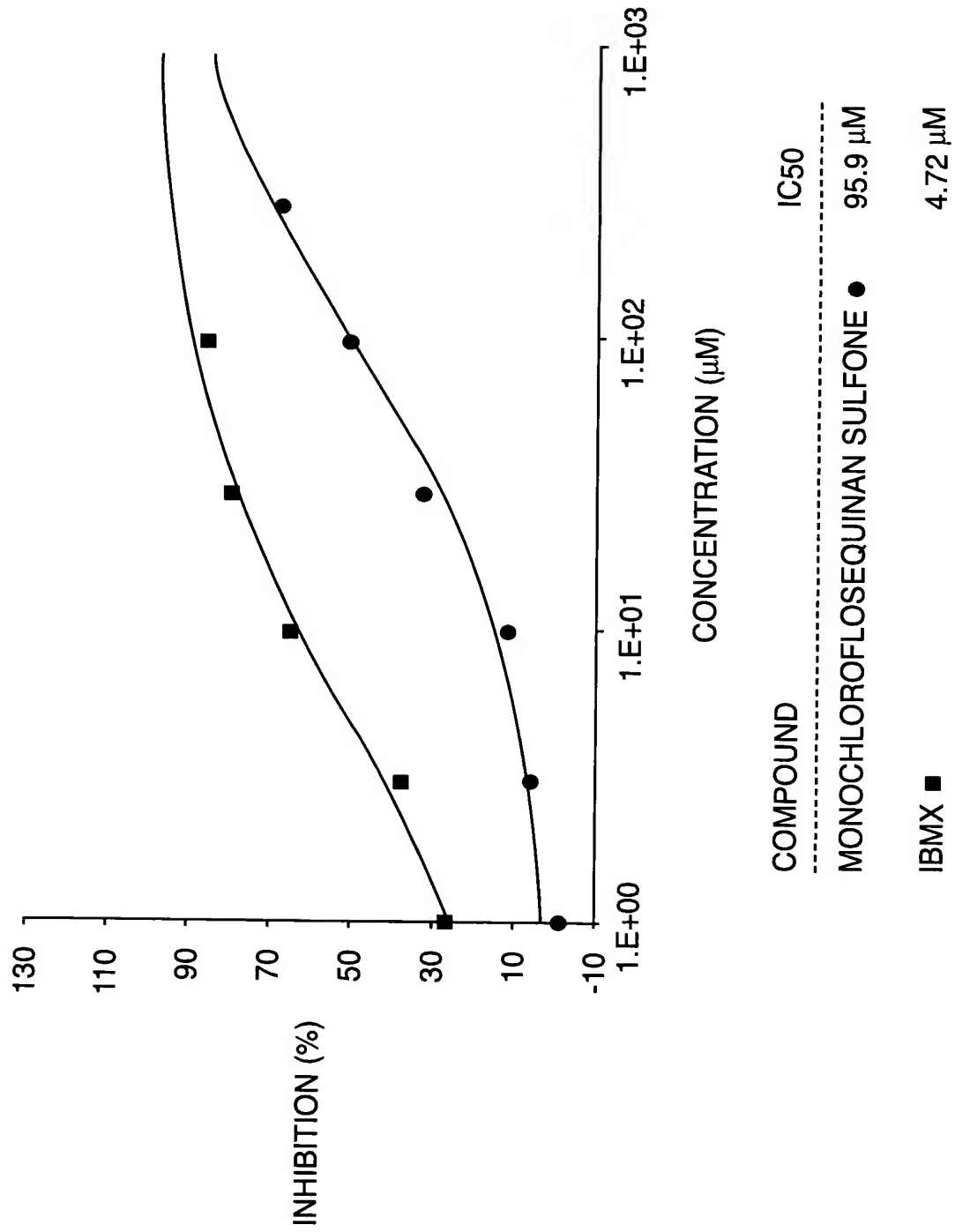


FIG. 15

CAT. #	TARGET	BATCH* SPP.	n=	CONC.	1% INHIBITION			IC ₅₀	K ₁	n _H	R
					%	↓	↓	↓	↓	↓	↓
					-100	-50	0	50	100		
◆146000	PHOSPHODIESTERASE PDE1	37070 BOV	2	100 μM	71						
◆		37525 BOV	2	300 μM	65						
◆152000	PHOSPHODIESTERASE PDE3		2	100 μM	55						
			2	30 μM	42						
			2	10 μM	30						
			2	3 μM	20						
			2	1 μM	19						
◆148000	PHOSPHODIESTERASE PDE2	36815 HUM	2	100 μM	50						
		37526 HUM	2	300 μM	34						
			2	100 μM	20						
			2	30 μM	21						
			2	10 μM	4						
			2	3 μM	2						
			2	1 μM	-3						

65.6 μM

>300 μM

FIG. 16A

CAT. #	TARGET	BATCH* SPP.	n=	CONC.	% INHIBITION -100 -50 0 50 100 % ↓ ↓ ↓ ↓ ↓ ↓	IC ₅₀	K ₁	η _H	R
◆ 152000	PHOSPHODIESTERASE PDE3	37072	HUM	2	100 μM	67			
◆		37527	HUM	2	300 μM	73			
◆			2	100 μM	65				
◆			2	30 μM	58				
			2	10 μM	42				
			2	3 μM	18				
			2	1 μM	1				
154000	PHOSPHODIESTERASE PDE4	36817	HUM	2	100 μM	15			
156000	PHOSPHODIESTERASE PDE5	36818	HUM	2	100 μM	37			
156100	PHOSPHODIESTERASE PDE6	36819	BOV	2	100 μM	16			

28.2 μM

*BATCH: REPRESENTS COMPOUNDS TESTED CONCURRENTLY IN THE SAME ASSAY(S).

◆ DENOTES ITEM MEETING CRITERIA FOR SIGNIFICANCE

[†] RESULTS WITH ≥ 50% STIMULATION OR INHIBITION ARE BOLDFACED
 (NEGATIVE VALUES CORRESPOND TO STIMULATION OF BINDING OR ENZYME ACTIVITY)

R=ADDITIONAL COMMENTS

BOV=BOVINE: HUM=HUMAN

FIG. 16B

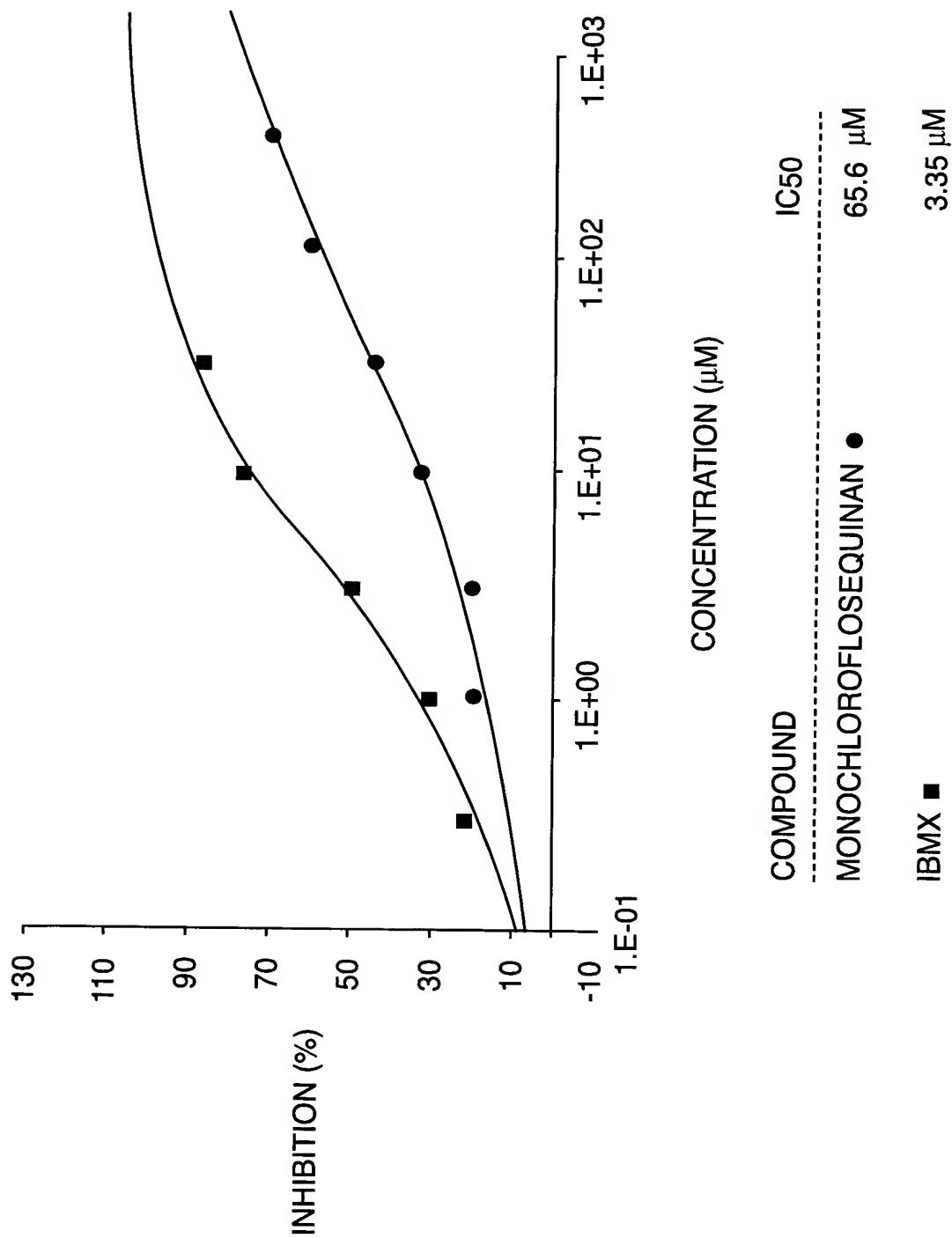


FIG. 17

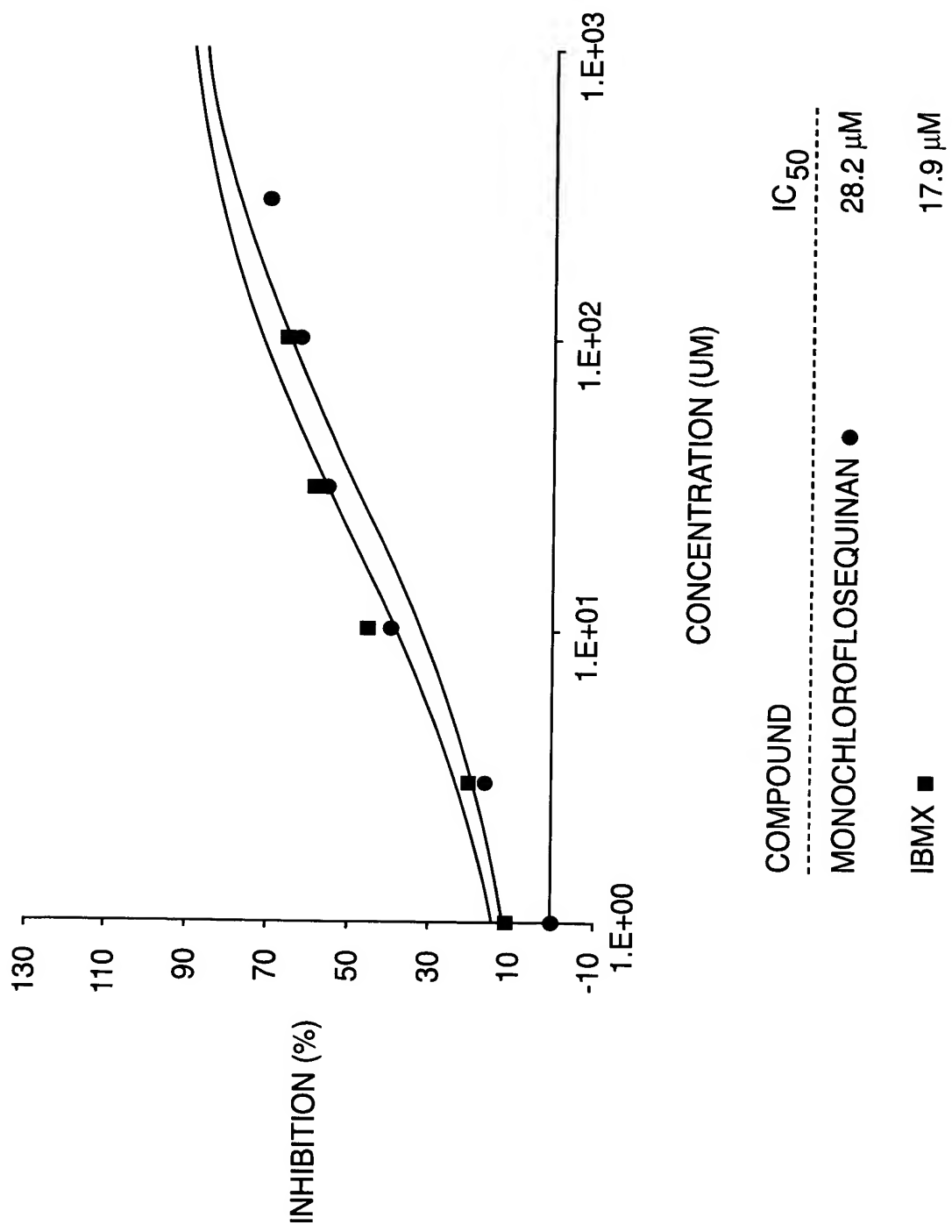


FIG. 18

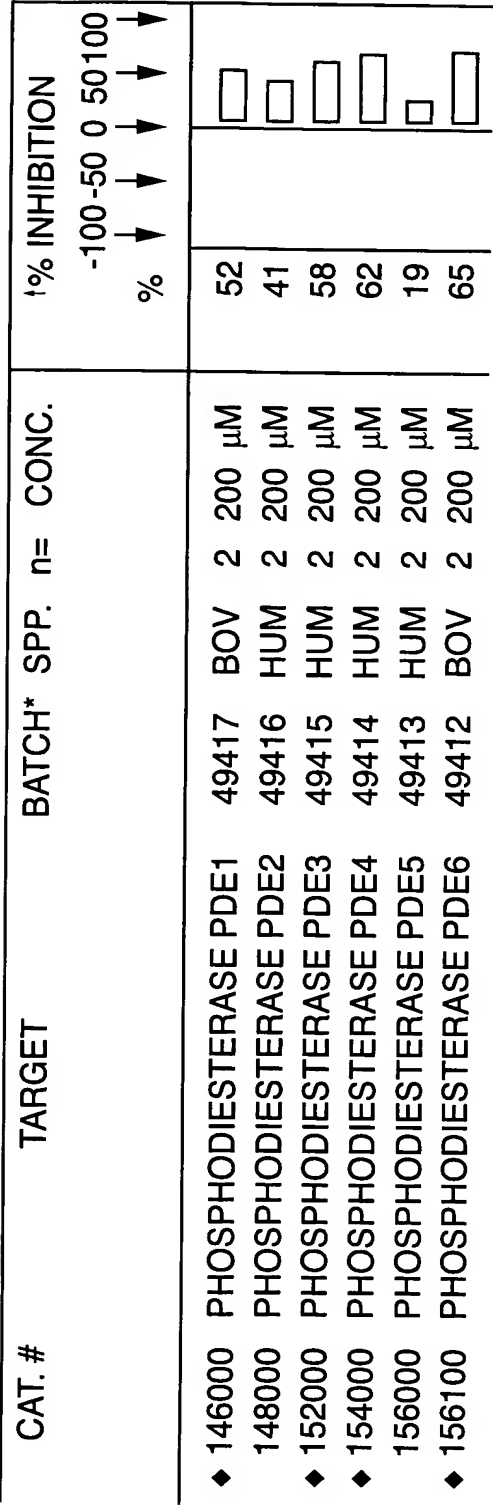


FIG. 19

CAT. #	TARGET	BATCH* SPP. n=	CONC.	†% INHIBITION					
				%	-100	-50	0	50	100
◆ 146000	PHOSPHODIESTERASE PDE1	49417	BOV	2	200	μM			
◆ 148000	PHOSPHODIESTERASE PDE2	49416	HUM	2	200	μM			
◆ 152000	PHOSPHODIESTERASE PDE3	49415	HUM	2	200	μM			
◆ 154000	PHOSPHODIESTERASE PDE4	49414	HUM	2	200	μM			
◆ 156000	PHOSPHODIESTERASE PDE5	49413	HUM	2	200	μM			
◆ 156100	PHOSPHODIESTERASE PDE6	49412	BOV	2	200	μM			

FIG. 20